



# STIC Search Report

EIC 1700

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**TO:** Ben Sackey  
**Location:** REM 5B31  
**Art Unit :** 1626  
**September 14, 2006**

**Case Serial Number:** 10/735029

**From:** Kathleen Fuller  
**Location:** EIC 1700  
**REMSEN 4B28**  
**Phone:** 571/272-2505  
**Kathleen.Fuller@uspto.gov**

## Search Notes

SACKEY 10/735029

09/14/2006

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=> D QUE  
L2 5 SEA FILE=REGISTRY ABB=ON (109-07-9/BI OR 112811-59-3/BI OR  
112811-72-0/BI OR 121577-32-0/BI OR 713503-65-2/BI)  
L4 1 SEA FILE=REGISTRY ABB=ON L2 AND GATIFLO?  
L5 1086 SEA FILE=HCAPLUS ABB=ON L4  
L6 10 SEA FILE=HCAPLUS ABB=ON L5 (L) CRYSTAL?  
L8 16 SEA FILE=HCAPLUS ABB=ON L5 AND CRYSTAL? (4A) GATIFLOXACIN?  
L10 3 SEA FILE=REGISTRY ABB=ON L2 AND 4/NR  
L11 2 SEA FILE=REGISTRY ABB=ON L10 NOT L4

L12            6 SEA FILE=HCAPLUS ABB=ON L11  
 L13            1 SEA FILE=HCAPLUS ABB=ON L12 AND CRYSTAL?  
 L14            16 SEA FILE=HCAPLUS ABB=ON L8 OR L6 OR L13

=> D L14 BIB ABS IND HITSTR 1-16

L14 ANSWER 1 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:1311539 HCAPLUS  
 DN 144:22824

TI Novel crystalline forms of gatifloxacin  
 IN Satyanarayana, Chava; Ramanjaneyulu, Gorantla Seeta; Kumar, Indukuri Venkata Sunil

PA Matrix Laboratories Ltd, India

SO PCT Int. Appl., 23 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005118546	A1	20051215	WO 2005-IN166	20050525
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRAI IN 2004-CH521 A 20040604  
 IN 2004-CH522 A 20040604  
 IN 2004-CH523 A 20040604

AB A process for purification of polymorphic form of Gatifloxacin is presented. Gatifloxacin is dissolved about 15 - 50 vols. of methanol, thereby removing insolubles, followed by adding organic base to the solution,. By maintaining the solution at temperature of 30 °C to 70 °C, for about 20 min to 4 h, followed by gradual cooling and maintaining the reaction mass to -10 to 20 °C for about 1 - 4 h, isolation and drying at temperature of about 45 °C to 65 °C enables gatifloxacin to crystallized in three novel polymorphs.

IC ICM C07D215-56

CC 27-17 (Heterocyclic Compounds (One Hetero Atom))  
 Section cross-reference(s): 75

ST crystallog purifn gatifloxacin polymorph;  
 crystal mol structure gatifloxacin polymorph

IT Crystal structure

Molecular structure

(of three unique polymorphs of gatifloxacin)

IT Conformation

Conformers

Differential scanning calorimetry

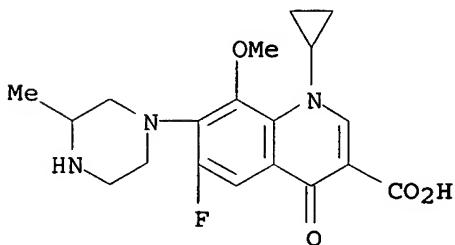
Polymorphism (crystal)

Purification

X-ray diffraction

(process for the crystallog. purification of three unique polymorphs of

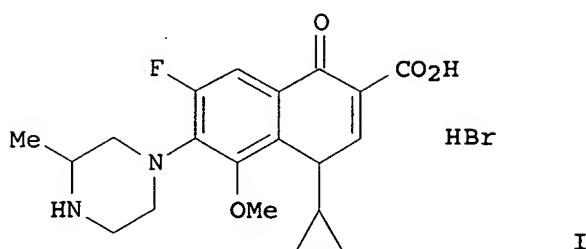
gatifloxacin)  
IT 67-56-1, Methanol, uses  
RL: NUU (Other use, unclassified); USES (Uses)  
(process for the crystallog. purification of three unique polymorphs of gatifloxacin)  
IT 112811-59-3P, Gatifloxacin  
RL: PRP (Properties); PUR (Purification or recovery); PREP (Preparation)  
(process for the crystallog. purification of three unique polymorphs of gatifloxacin)  
IT 112811-59-3P, Gatifloxacin  
RL: PRP (Properties); PUR (Purification or recovery); PREP (Preparation)  
(process for the crystallog. purification of three unique polymorphs of gatifloxacin)  
RN 112811-59-3 HCAPLUS  
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-(3-methyl-1-piperazinyl)-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 2 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN  
AN 2005:572069 HCAPLUS  
DN 143:172897  
TI Preparation of Gatifloxacin hydrobromide and application as antibacterial agent  
IN Tang, Xiaodong; Tang, Xudong  
PA Hainan Kangliyuan Pharmaceutical Industry Co., Ltd., Peop. Rep. China  
SO Faming Zhanli Shengqing Gongkai Shuomingshu, No pp. given  
CODEN: CNXXEV  
DT Patent  
LA Chinese  
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI CN 1548435	A	20041124	CN 2003-116874	20030509
PRAI CN 2003-116874		20030509		
GI				



AB The present invention discloses preparation of Gatifloxacin hydrobromide (I) and its application. The preparation process adopts Gatifloxacin as main material, and includes reaction with hydrobromic acid in organic solvent or water at 40-50° C for 1-5 h to produce the salt, and subsequent crystallization to obtain Gatifloxacin hydrobromide product. The compound has high water solubility, high stability and less irritation, and its Gatifloxacin component produces antibacterial effect in human body to treat various infectious diseases.

IC ICM C07D401-04  
ICS A61K031-496; A61P031-04

CC 28-17 (Heterocyclic Compounds (More Than One Hetero Atom))  
Section cross-reference(s) : 10

ST Gatifloxacin hydrobromide prepn antibacterial agent

IT Infection  
(bacterial; preparation of the hydrobromide salt of antibacterial agent Gatifloxacin)

IT Antibacterial agents  
Human  
(preparation of the hydrobromide salt of antibacterial agent Gatifloxacin)

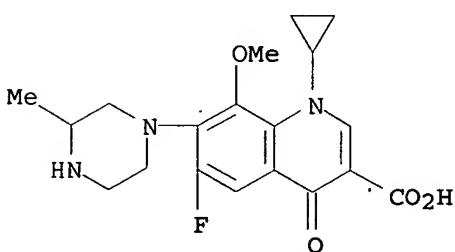
IT 112811-59-3, Gatifloxacin  
RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)  
(preparation of the hydrobromide salt of antibacterial agent Gatifloxacin)

IT 316819-22-4P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of the hydrobromide salt of antibacterial agent Gatifloxacin)

IT 112811-59-3, Gatifloxacin  
RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)  
(preparation of the hydrobromide salt of antibacterial agent Gatifloxacin)

RN 112811-59-3 HCAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-(3-methyl-1-piperazinyl)-4-oxo- (9CI) (CA INDEX NAME)



L14 ANSWER 3 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:451362 HCAPLUS  
 DN 142:487546  
 TI Preparation of a crystalline form of gatifloxacin  
 which has a stable water content  
 IN Cosme Gomez, Antonio; Villasante Prieto, Javier; Palomo Nicolau, Francisco  
 Eugenio  
 PA Quimica Sintetica, S. A., Spain  
 SO PCT Int. Appl., 19 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005047262	A1	20050526	WO 2004-IB3652	20041105
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	ES 2232311	A1	20050516	ES 2003-2643	20031113
	ES 2232311	B1	20060801		
PRAI	ES 2003-2643	A	20031113		
AB	A crystalline form of gatifloxacin, obtained by a process that comprises recrystn. of crude gatifloxacin in methanol, which is stable with a water content ranging between 2.5-4.5%, is prepared and is claimed for use in the preparation of pharmaceutical formulations for the treatment of bacterial infections.				
IC	ICM C07D215-56				
	ICS A61K031-496				
CC	63-6 (Pharmaceuticals)				
	Section cross-reference(s): 28, 75				
ST	gatifloxacin cryst form prep stable water content; polymorphic gatifloxacin cryst form prep stable water content				
IT	Infection (bacterial; preparation of a crystalline form of gatifloxacin which has a stable water content for use in pharmaceutical formulations for the treatment of)				
IT	Cooling Crystallization Filtration Heating (in the preparation of a crystalline form of gatifloxacin which has a stable water content)				
IT	Polymorphism (crystal) (preparation of a crystalline form of gatifloxacin which has a stable water content)				
IT	Drug delivery systems (preparation of a crystalline form of gatifloxacin which has a stable water content for use in)				

IT Antibiotics  
 (preparation of a crystalline form of gatifloxacin which has a stable water content for use in pharmaceutical formulations as)

IT Drying  
 (vacuum; in the preparation of a crystalline form of gatifloxacin which has a stable water content)

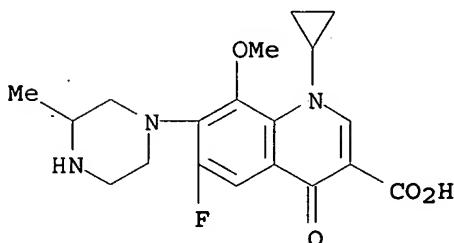
IT 112811-59-3, Gatifloxacin  
 RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
 (preparation of a crystalline form of gatifloxacin which has a stable water content)

IT 67-56-1, Methanol, uses  
 RL: NUU (Other use, unclassified); USES (Uses)  
 (solvent; preparation of a crystalline form of gatifloxacin which has a stable water content)

IT 112811-59-3, Gatifloxacin  
 RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
 (preparation of a crystalline form of gatifloxacin which has a stable water content)

RN 112811-59-3 HCAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-(3-methyl-1-piperazinyl)-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 4 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:451361 HCAPLUS  
 DN 142:487545  
 TI Process for the preparation of a non-hygroscopic polymorphic crystalline form of gatifloxacin  
 IN Cosme Gomez, Antonio; Villasante Prieto, Javier; Palomo Nicolau, Francisco Eugenio  
 PA Quimica Sintetica, S. A., Spain  
 SO PCT Int. Appl., 24 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005047261	A1	20050526	WO 2004-IB3600	20041105
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,			

LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
 EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO,  
 SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,  
 NE, SN, TD, TG

ES 2232310 A1 20050516 ES 2003-2642 20031113  
 ES 2232310 B1 20060801

PRAI ES 2003-2642 A 20031113

AB A non-hygroscopic polymorphic (I) crystalline form of gatifloxacin, which contains 8-9% water, which is characterized by a specific powder X-ray diffraction pattern, is prepared by heating crude I in methanol and cooling it with stirring to crystallization I is claimed for its use as an active ingredient in the preparation of antibiotic pharmaceutical formulations.

IC ICM C07D215-56

ICS A61K031-496; A61P031-00

CC 63-6 (Pharmaceuticals)

Section cross-reference(s): 28, 75

ST gatifloxacin nonhygroscopic crystal polymorph prep; antibiotic gatifloxacin nonhygroscopic crystal polymorph prep

IT Infection

(bacterial; process for the preparation of a non-hygroscopic polymorphic crystalline form of gatifloxacin for use in the treatment of)

IT Cooling

Crystallization

Filtration

Heating

(in a process for the preparation of a non-hygroscopic polymorphic crystalline form of gatifloxacin)

IT Antibiotics

Polymorphism (crystal)

(process for the preparation of a non-hygroscopic polymorphic crystal form of gatifloxacin)

IT Drug delivery systems

(process for the preparation of a non-hygroscopic polymorphic crystal form of gatifloxacin for use in)

IT 112811-59-3, Gatifloxacin

RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(process for the preparation of a non-hygroscopic polymorphic crystal form of gatifloxacin)

IT 67-56-1, Methanol, uses

RL: NUU (Other use, unclassified); USES (Uses)

(solvent; process for the preparation of a non-hygroscopic polymorphic crystalline form of gatifloxacin)

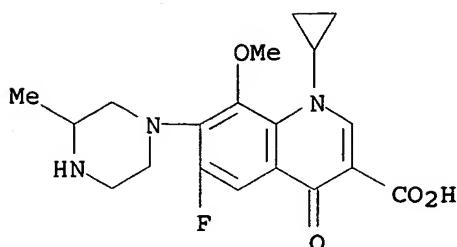
IT 112811-59-3, Gatifloxacin

RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(process for the preparation of a non-hygroscopic polymorphic crystal form of gatifloxacin)

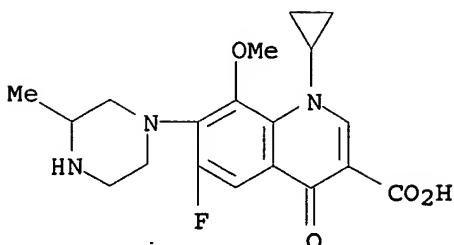
RN 112811-59-3 HCPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-(3-methyl-1-piperazinyl)-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 5 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:220275 HCAPLUS  
 DN 143:240624  
 TI The crystal structure of a Gatifloxacin complex and its fluorescent property  
 AU Li, Yong-Hua; Tang, Yun-Zhi; Huang, Xue-Feng; Xiong, Ren-Gen  
 CS Coordination Chemistry Institute, The State Key Laboratory of Coordination Chemistry, Nanjing University, Nanjing, Peop. Rep. China  
 SO Zeitschrift fuer Anorganische und Allgemeine Chemie (2005), 631(4), 639-641  
 CODEN: ZAACAB; ISSN: 0044-2313  
 PB Wiley-VCH Verlag GmbH & Co. KGaA  
 DT Journal  
 LA English  
 OS CASREACT 143:240624  
 AB The hydrothermal reaction of Cd(ClO<sub>4</sub>)<sub>2</sub>·6H<sub>2</sub>O and Gatifloxacin (Gati) affords a binuclear complex of Gatifloxacin, [Cd(Gati)(py)<sub>3</sub>]<sub>2</sub>(ClO<sub>4</sub>)<sub>4</sub> (1), which was characterized by x-ray crystallog. anal., IR and fluorescent spectroscopy. Crystal data for 1, C<sub>34</sub>H<sub>36</sub>CdCl<sub>2</sub>FN<sub>6</sub>O<sub>12</sub>: monoclinic, space group P21/c, a 18.3642(5), b 12.8156(4), c 16.7210(5) Å, β 97.931(1)°, Z = 4. The local surrounding of the CdII ion is a slightly distorted octahedron. Solid-state fluorescence measurements of 1 at room temperature show an emission peak at 439 nm.  
 CC 78-7 (Inorganic Chemicals and Reactions)  
 Section cross-reference(s): 73, 75  
 ST cadmium Gatifloxacin dinuclear complex prepn structure fluorescence;  
 crystal structure cadmium Gatifloxacin dinuclear complex  
 IT Crystal structure  
 Fluorescence  
 Molecular structure  
 (of cadmium Gatifloxacin dinuclear complex)  
 IT 862771-77-5P  
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and crystal structure and fluorescence of)  
 IT 112811-59-3, Gatifloxacin  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reactant for preparation of cadmium Gatifloxacin dinuclear complex)  
 IT 112811-59-3, Gatifloxacin  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reactant for preparation of cadmium Gatifloxacin dinuclear complex)  
 RN 112811-59-3 HCAPLUS  
 CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-(3-methyl-1-piperazinyl)-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 6 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN  
AN 2004:1016034 HCAPLUS

DN 142:11555

TI Purification methods for gatifloxacin and preparation of a polymorphic crystalline form of gatifloxacin hemihydrate

IN Reddy, Bandi Parthasaradhi; Reddy, Kura Rathnakar; Reddy, Rapolu Raji; Reddy, Dasari Muralidhara; Reddy, Jonnala Sambi

PA Hetero Drugs Limited, India

SO PCT Int. Appl., 18 pp.  
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004101547	A1	20041125	WO 2003-IN191	20030519
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003304118	A1	20041203	AU 2003-304118	20030519

PRAI WO 2003-IN191 A 20030519

AB A process for the purification of gatifloxacin contaminated with impurities comprises: (A) adjusting the pH of the suspension of impure gatifloxacin in water to <4.5 until the solids are in solution; (B) separating the impurities

by solvent extraction and/or adsorption; (C) readjusting the pH to 6-8 with a base; and (D) isolating purified crystalline gatifloxacin.

A stable novel crystalline form of gatifloxacin hemihydrate is also presented.

IC ICM C07D401-04

ICS C07D215-56; A61K031-496

CC 63-6 (Pharmaceuticals)

Section cross-reference(s): 28, 75

ST gatifloxacin hemihydrate prepn crystal polymorphism;  
purifn gatifloxacin

IT Alkali metal hydroxides

Alkaline earth hydroxides

Amines, reactions

Carbonates, reactions

Phosphates, reactions

RL: RGT (Reagent); RACT (Reactant or reagent)

(bases; in purification methods for gatifloxacin and preparation of a polymorphic

crystalline form of gatifloxacin hemihydrate)

IT Hydrocarbons, uses

RL: NUU (Other use, unclassified); USES (Uses)

(chloro, solvents; in purification methods for gatifloxacin and preparation of a

polymorphic crystalline form of gatifloxacin hemihydrate)

IT Amines, reactions

RL: RGT (Reagent); RACT (Reactant or reagent)

(heterocyclic, bases; in purification methods for gatifloxacin and preparation of

a polymorphic crystalline form of gatifloxacin hemihydrate)

IT Adsorption

Extraction

(in purification methods for gatifloxacin and preparation of a polymorphic crystalline form of gatifloxacin hemihydrate)

IT Bases, reactions

RL: RGT (Reagent); RACT (Reactant or reagent)

(in purification methods for gatifloxacin and preparation of a polymorphic crystalline form of gatifloxacin hemihydrate)

IT Acids, reactions

RL: RGT (Reagent); RACT (Reactant or reagent)

(inorg.; in purification methods for gatifloxacin and preparation of a polymorphic crystalline form of gatifloxacin hemihydrate)

IT Acids, reactions

RL: RGT (Reagent); RACT (Reactant or reagent)

(organic; in purification methods for gatifloxacin and preparation of a polymorphic

crystalline form of gatifloxacin hemihydrate)

IT Polymorphism (crystal)

(purification methods for gatifloxacin and preparation of a polymorphic crystalline form of gatifloxacin hemihydrate)

IT Drug delivery systems

(purification methods for gatifloxacin and preparation of a polymorphic crystalline form of gatifloxacin hemihydrate for use in)

IT Esters, uses

Ethers, uses

Hydrocarbons, uses

Ketones, uses

RL: NUU (Other use, unclassified); USES (Uses)

(solvents; in purification methods for gatifloxacin and preparation of a polymorphic crystalline form of gatifloxacin hemihydrate)

IT 7440-44-0, Activated carbon, uses

RL: NUU (Other use, unclassified); PEP (Physical, engineering or chemical process); PYP (Physical process); PROC (Process); USES (Uses)

(activated; in purification methods for gatifloxacin and preparation of a polymorphic crystalline form of gatifloxacin hemihydrate)

IT 75-50-3, Trimethylamine, reactions 109-02-4, N-Methylmorpholine

109-73-9, Butylamine, reactions 109-89-7, Diethylamine, reactions

110-89-4, Piperidine, reactions 141-43-5, Ethanolamine, reactions

497-19-8, Sodium carbonate, reactions 1310-58-3, Potassium hydroxide,

reactions 1310-73-2, Sodium hydroxide, reactions 7601-54-9, Trisodium

phosphate 7664-41-7, Ammonia, reactions  
 RL: RGT (Reagent); RACT (Reactant or reagent)  
 (base; in purification methods for gatifloxacin and preparation of a polymorphic crystalline form of gatifloxacin hemihydrate)

IT 64-18-6, Formic acid, reactions 64-19-7, Acetic acid, reactions  
 76-05-1, Trifluoroacetic acid, reactions 7647-01-0, Hydrochloric acid, reactions 7664-38-2, Phosphoric acid, reactions 7664-93-9, Sulfuric acid, reactions  
 RL: RGT (Reagent); RACT (Reactant or reagent)  
 (in purification methods for gatifloxacin and preparation of a polymorphic crystalline form of gatifloxacin hemihydrate)

IT 404858-36-2P, Gatifloxacin hemihydrate  
 RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
 (purification methods for gatifloxacin and preparation of a polymorphic crystalline form of gatifloxacin hemihydrate)

IT 112811-59-3P, Gatifloxacin  
 RL: PEP (Physical, engineering or chemical process); PUR (Purification or recovery); PYP (Physical process); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses)  
 (purification methods for gatifloxacin and preparation of a polymorphic crystalline form of gatifloxacin hemihydrate)

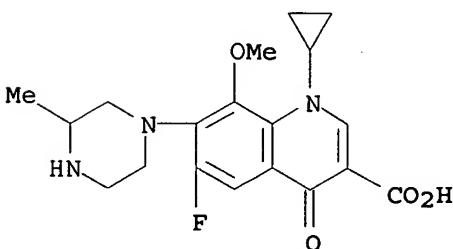
IT 67-66-3, Chloroform, uses 75-09-2, Dichloromethane, uses 108-10-1, MIBK 108-20-3, Isopropyl ether 108-21-4, Isopropyl acetate 108-88-3, Toluene, uses 110-19-0, Isobutyl acetate 141-78-6, Ethyl acetate, uses  
 RL: NUU (Other use, unclassified); USES (Uses)  
 (solvent; in purification methods for gatifloxacin and preparation of a polymorphic crystalline form of gatifloxacin hemihydrate)

IT 7732-18-5, Water, reactions  
 RL: NUU (Other use, unclassified); RCT (Reactant); RACT (Reactant or reagent); USES (Uses)  
 (solvent; in purification methods for gatifloxacin and preparation of a polymorphic crystalline form of gatifloxacin hemihydrate)

IT 112811-59-3P, Gatifloxacin  
 RL: PEP (Physical, engineering or chemical process); PUR (Purification or recovery); PYP (Physical process); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses)  
 (purification methods for gatifloxacin and preparation of a polymorphic crystalline form of gatifloxacin hemihydrate)

RN 112811-59-3 HCAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-(3-methyl-1-piperazinyl)-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 7 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN  
AN 2004:857586 HCAPLUS  
DN 141:320019  
TI Preparation of novel crystalline forms of gatifloxacin  
IN Parthasaradhi, Reddy Bandi; Rathnakar, Reddy Kura; Raji, Reddy Rapolu;  
Muralidhara, Reddy Dasari; Ravikanth, Reddy Meghi  
PA Hetero Drugs Limited, India  
SO PCT Int. Appl., 19 pp.  
CODEN: PIXXD2

DT Patent  
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004087688	A1	20041014	WO 2003-IN135	20030402
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
	AU 2003230194	A1	20041025	AU 2003-230194	20030402
	US 2005085640	A1	20050421	US 2003-510172	20030402

PRAI WO 2003-IN135 A 20030402

AB The present invention relates to novel crystalline forms of gatifloxacin, to processes for their preparation and to pharmaceutical compns. containing them. Thus, 1 g of gatifloxacin was mixed with methylene dichloride (50 mL, water content 0.35%), heated to 45° and maintained at this temp for 15 min. The solution formed was cooled to 25° and maintained at 25° and maintained at 25° for 10 h. The separated crystals were filtered to give 0.6 g of gatifloxacin sesquihydrate form H1.

IC ICM C07D401-04

ICS A61K031-495

CC 63-5 (Pharmaceuticals)

ST cryst gatifloxacin prepn

IT Crystal morphology

Solvents

(preparation of novel crystalline forms of gatifloxacin)

IT 56-23-5, Carbon tetrachloride, uses 67-66-3, Chloroform, uses 75-09-2, Methylene dichloride, uses 79-20-9, Methyl acetate 107-06-2, Ethylene dichloride, uses 107-31-3, Methyl formate 108-21-4, Isopropyl acetate 109-94-4, Ethyl formate 123-91-1, 1,4 Dioxane, uses 141-78-6, Ethyl acetate, uses 540-88-5, tert-Butyl acetate

RL: NUU (Other use, unclassified); USES (Uses)

(preparation of novel crystalline forms of gatifloxacin)

IT 112811-59-3, Gatifloxacin 180200-66-2,

Gatifloxacin sesquihydrate

RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of novel crystalline forms of gatifloxacin)

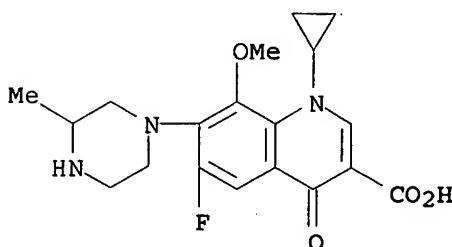
IT 112811-59-3, Gatifloxacin

RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of novel crystalline forms of gatifloxacin)

RN 112811-59-3 HCAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-(3-methyl-1-piperazinyl)-4-oxo- (9CI) (CA INDEX NAME)



L14 ANSWER 8 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:531362 HCAPLUS

DN 141:94276

TI Crystalline forms of gatifloxacin

IN Niddam-Hildesheim, Valerie; Wizel, Shomit; Amir, Ehud; Sterimbaum, Greta  
PA Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.

SO PCT Int. Appl., 71 pp.  
CODEN: PIXXD2

DT Patent

LA English

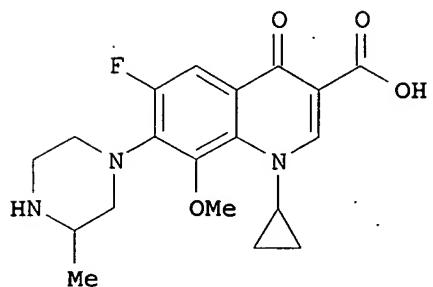
FAN.CNT 3

*applicants*

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004054583	A1	20040701	WO 2003-US39539	20031212
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP	1645274	A1	20060412	EP 2005-77643	20030512
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK				
CA	2510625	AA	20040701	CA 2003-2510625	20031212
AU	2003300874	A1	20040709	AU 2003-300874	20031212
US	2004171621	A1	20040902	US 2003-735029	20031212
EP	1485097	A1	20041215	EP 2003-813395	20031212
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP	2006511618	T2	20060406	JP 2005-508325	20031212
US	2005288301	A1	20051229	US 2005-208248	20050819
US	2005288302	A1	20051229	US 2005-208299	20050819
PRAI	US 2002-432961P	P	20021212		
	US 2003-448062P	P	20030215		
	US 2003-465534P	P	20030425		

US 2002-379510P	P	20020510
US 2002-389093P	P	20020614
US 2002-401672P	P	20020806
US 2002-402749P	P	20020812
US 2002-409860P	P	20020910
US 2002-423338P	P	20021101
US 2003-444812P	P	20030203
EP 2003-750112	A3	20030512
US 2003-436736	A3	20030512
WO 2003-US39539	W	20031212

GI



AB Provided are novel crystalline forms of gatifloxacin (I), some of which are DMSO solvates. A DMSO solvate of I was prepared from 1-cyclopropyl-6,7-difluoro-1,4-dihydro-8-methoxy-4-oxo-3-quinolinecarboxylic acid, DMSO, and 2-methylpiperazine. Other crystal forms and DMSO solvates were prepared and characterized.

IC ICM A61K031-496  
ICS C07D215-56; A61P031-00

CC 63-5 (Pharmaceuticals)  
Section cross-reference(s): 28, 75

ST gatifloxacin crystal form DMSO solvate

IT Crystal morphology  
(crystalline forms of gatifloxacin)

IT Crystal structure  
(of gatifloxacin-DMSO solvate)

IT 112811-59-3, Gatifloxacin 121577-32-0,  
3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-(3-methyl-1-piperazinyl)-4-oxo-, monohydrochloride  
RL: CPS (Chemical process); PEP (Physical, engineering or chemical process); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(crystalline forms of gatifloxacin)

IT 713503-65-2  
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(crystalline forms of gatifloxacin)

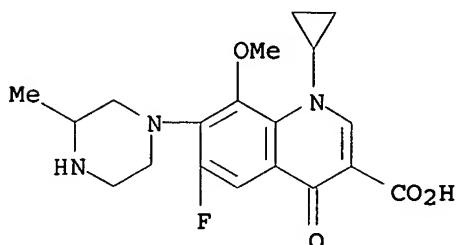
IT 109-07-9, 2-Methylpiperazine 112811-72-0, 1-Cyclopropyl-6,7-difluoro-1,4-dihydro-8-methoxy-4-oxo-3-quinolinecarboxylic acid  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(crystalline forms of gatifloxacin)

IT 112811-59-3, Gatifloxacin 121577-32-0,  
3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-(3-methyl-1-piperazinyl)-4-oxo-, monohydrochloride  
RL: CPS (Chemical process); PEP (Physical, engineering or chemical process); PRP (Properties); THU (Therapeutic use); BIOL (Biological

study); PROC (Process); USES (Uses)  
 (crystalline forms of gatifloxacin)

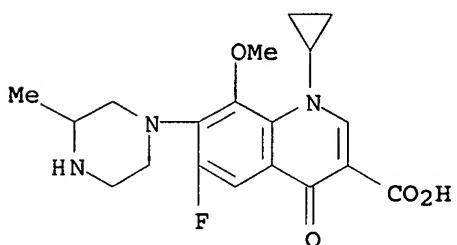
RN 112811-59-3 HCPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-(3-methyl-1-piperazinyl)-4-oxo- (9CI) (CA INDEX NAME)



RN 121577-32-0 HCPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-(3-methyl-1-piperazinyl)-4-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT 713503-65-2

RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)

(crystalline forms of gatifloxacin)

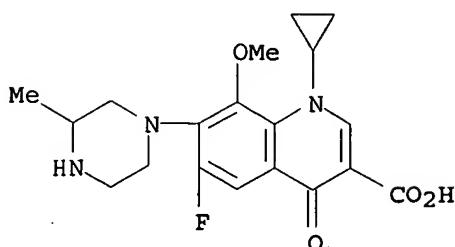
RN 713503-65-2 HCPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-(3-methyl-1-piperazinyl)-4-oxo-, compd. with sulfinylbis[methane] (9CI)  
 (CA INDEX NAME)

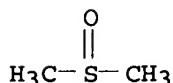
CM 1

CRN 112811-59-3

CMF C19 H22 F N3 O4



CM 2

CRN 67-68-5  
CMF C2 H6 O SRE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14	ANSWER 9 OF 16	HCAPLUS	COPYRIGHT 2006 ACS on STN	
AN	2003:1006776	HCAPLUS		
DN	140:31458			
TI	Novel crystalline forms of gatifloxacin			
IN	Niddam-Hildesheim, Valerie; Wizel, Shlomit; Sterimbaum, Greta; Amir, Ehud			
PA	Teva Pharmaceuticals Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.			
SO	PCT Int. Appl., 25 pp.			
	CODEN: PIXXD2			
DT	Patent			
LA	English			
FAN.CNT 3				
	PATENT NO.	KIND	DATE	APPLICATION NO.
PI	WO 2003105851	A1	20031224	WO 2003-US19046 20030616
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW		
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		
EP	1645274	A1	20060412	EP 2005-77643 20030512
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK		
CA	2489377	AA	20031224	CA 2003-2489377 20030616
AU	2003243615	A1	20031231	AU 2003-243615 20030616
US	2004038988	A1	20040226	US 2003-462945 20030616
EP	1471911	A1	20041103	EP 2003-760424 20030616
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,		

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005532364	T2	20051027	JP 2004-512754	20030616
US 2005288301	A1	20051229	US 2005-208248	20050819
US 2005288302	A1	20051229	US 2005-208299	20050819
PRAI US 2002-389093P	P	20020614		
US 2002-423338P	P	20021101		
US 2002-379510P	P	20020510		
US 2002-401672P	P	20020806		
US 2002-402749P	P	20020812		
US 2002-409860P	P	20020910		
US 2002-432961P	P	20021212		
US 2003-444812P	P	20030203		
US 2003-448062P	P	20030215		
EP 2003-750112	A3	20030512		
US 2003-436736	A3	20030512		
WO 2003-US19046	W	20030616		

AB Provided are two novel crystalline forms of gatifloxacin (I), denominated form O and form V, methods for their preparation and pharmaceutical compns. thereof. A method of making the crystalline I comprises the steps of (1) providing, at reflux, a solution of I in acetonitrile, (2) cooling the solution to ambient temperature at a cooling rate of at least 1° per min, whereby a suspension is obtained, (3) further crash cooling the suspension to about 5° or less, (4) isolating the solid from the suspension, and (5) treating the isolated solid with moist gas to obtain form V.

IC ICM A61K031-4725  
ICS C07D215-56

CC 63-5 (Pharmaceuticals)

ST gatifloxacin polymorph crystn

IT Crystallization

Differential scanning calorimetry

Polymorphism (crystal)

X-ray diffractometry

X-ray reflectivity spectra

(novel crystalline forms of gatifloxacin)

IT 64-17-5, Ethanol, miscellaneous 75-05-8, Acetonitrile, miscellaneous  
RL: MSC (Miscellaneous)

(novel crystalline forms of gatifloxacin)

IT 112811-59-3, Gatifloxacin 180200-66-2,

Gatifloxacin sesquihydrate

RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(novel crystalline forms of gatifloxacin)

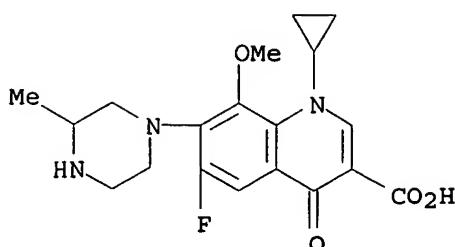
IT 112811-59-3, Gatifloxacin

RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(novel crystalline forms of gatifloxacin)

RN 112811-59-3 HCPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-(3-methyl-1-piperazinyl)-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14	ANSWER 10 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN			
AN	2003:913003 HCAPLUS			
DN	139:386418			
TI	Novel crystalline forms of gatifloxacin			
IN	Niddam-Hildesheim, Valerie; Wizel, Shlomit; Sterimbaum, Greta; Amir, Ehud			
PA	Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.			
SO	PCT Int. Appl., 91 pp.			
	CODEN: PIXXD2			
DT	Patent			
LA	English			
FAN.CNT 3				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	-----	-----	-----	-----
PI WO 2003094919	A2	20031120	WO 2003-US14811	20030512
WO 2003094919	A3	20040318		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003232113	A1	20031111	AU 2003-232113	20030512
CA 2485262	AA	20031120	CA 2003-2485262	20030512
US 2004009989	A1	20040115	US 2003-436736	20030512
EP 1503762	A2	20050209	EP 2003-750112	20030512
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CN 1665504	A	20050907	CN 2003-815878	20030512
JP 2005534633	T2	20051117	JP 2004-503004	20030512
EP 1645274	A1	20060412	EP 2005-77643	20030512
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CA 2494518	AA	20040212	CA 2003-2494518	20030806
WO 2004012739	A1	20040212	WO 2003-US24615	20030806
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003258109	A1	20040223	AU 2003-258109	20030806
US 2004192700	A1	20040930	US 2003-635337	20030806
EP 1545530	A1	20050629	EP 2003-767250	20030806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1688310	A	20051026	CN 2003-823620	20030806
JP 2006508909	T2	20060316	JP 2004-526061	20030806
US 2005288301	A1	20051229	US 2005-208248	20050819
US 2005288302	A1	20051229	US 2005-208299	20050819

PRAI US 2002-379510P P 20020510  
 US 2002-389093P P 20020614  
 US 2002-401672P P 20020806  
 US 2002-402749P P 20020812  
 US 2002-409860P P 20020910  
 US 2002-423338P P 20021101  
 US 2002-432961P P 20021212  
 US 2003-444812P P 20030203  
 US 2003-448062P P 20030215  
 EP 2003-750112 A3 20030512  
 US 2003-436736 A3 20030512  
 WO 2003-US14811 W 20030512  
 WO 2003-US24615 W 20030806

AB Provided are novel **crystalline forms of gatifloxacin** denominated forms A, B, C, D, E1, F, G, H, I, and J, and methods for their preparation. Also provided are methods for making known **crystalline forms of gatifloxacin**, in particular forms omega and T2RP. Form A of gatifloxacin was prepared from a slurry in isopropanol.

IC ICM A61K031-496  
 ICS C07D401-04

CC 63-6 (Pharmaceuticals)

Section cross-reference(s): 75

ST gatifloxacin crystal form

IT Crystal morphology

(crystalline forms of gatifloxacin)

IT 112811-59-3, Gatifloxacin 180200-66-2,  
 Gatifloxacin sesquihydrate 404858-36-2, Gatifloxacin hemihydrate 614751-80-3, 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-(3-methyl-1-piperazinyl)-4-oxo-, hydrate 624736-92-1 624736-93-2 624736-94-3 624736-95-4  
 RL: FMU (Formation, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); FORM (Formation, nonpreparative); USES (Uses)

(crystalline forms of gatifloxacin)

IT 64-17-5, Ethanol, processes 67-56-1, Methanol, processes 67-63-0, Isopropanol, processes 67-64-1, Acetone, processes 71-36-3, 1-Butanol, processes 78-93-3, Mek, processes 118240-86-1, Methanol-water mixture  
 RL: PEP (Physical, engineering or chemical process); PYP (Physical process); PROC (Process)

(crystalline forms of gatifloxacin)

IT 112811-59-3, Gatifloxacin

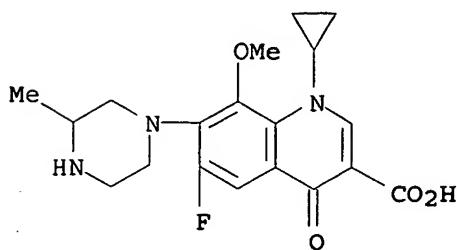
RL: FMU (Formation, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); FORM (Formation, nonpreparative); USES (Uses)

(crystalline forms of gatifloxacin)

RN 112811-59-3 HCPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-

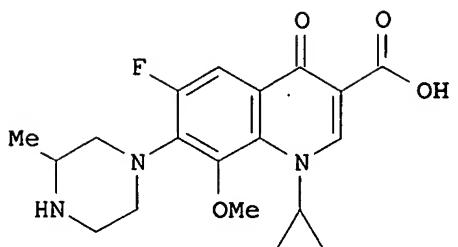
(3-methyl-1-piperazinyl)-4-oxo- (9CI) (CA INDEX NAME)



L14 ANSWER 11 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2003:836855 HCAPLUS  
 DN 139:328373  
 TI Anhydrous crystalline forms I and II of gatifloxacin  
 IN Reddy, Manne Satyanarayana; Raju, Chakilam Naga; Raju, Vetukuri Venkata  
 Naga Kali Vara Prasada; Reddy, Ningam Srinivas; Kumar, Rapolu Rajesh  
 PA Reddy's Laboratories Limited, India; Cord, Janet I.  
 SO PCT Int. Appl., 25 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003086402	A1	20031023	WO 2003-US10708	20030407
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2481308	AA	20031023	CA 2003-2481308	20030407
	AU 2003230831	A1	20031027	AU 2003-230831	20030407
	EP 1492535	A1	20050105	EP 2003-723931	20030407
	EP 1492535	B1	20051026		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	AT 307583	E	20051115	AT 2003-723931	20030407
	US 2006142300	A1	20060629	US 2005-510892	20050908
PRAI	IN 2002-MA259	A	20020408		
	IN 2002-MA285	A	20020412		
	WO 2003-US10708	W	20030407		

GI



AB The present invention relates to the novel anhydrous crystalline forms I and II of

gatifloxacin (I). The present invention also relates to methods of making the anhydrous Forms I and II of I, use of the forms and methods for preparing them.

IC ICM A61K031-496

ICS C07D215-56

CC 63-6 (Pharmaceuticals)

Section cross-reference(s): 28, 75

ST gatifloxacin crystal form

IT Crystal morphology

(anhydrous crystalline forms I and II of gatifloxacin)

IT 112811-59-3P, Gatifloxacin

RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
(anhydrous crystalline forms I and II of gatifloxacin)

IT 71-43-2, Benzene, processes 75-97-8 78-93-3, MEK, processes

108-10-1, MIBK 108-88-3, Toluene, processes 110-82-7, Cyclohexane, processes 1330-20-7, Xylene, processes

RL: PEP (Physical, engineering or chemical process); PYP (Physical process); PROC (Process)

(anhydrous crystalline forms I and II of gatifloxacin)

IT 109-07-9, 2-Methylpiperazine 112811-72-0, 3-Quinolinecarboxylic acid,

1-cyclopropyl-6,7-difluoro-1,4-dihydro-8-methoxy-4-oxo- 614751-80-3

RL: RCT (Reactant); RACT (Reactant or reagent)

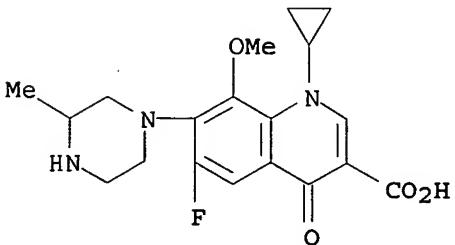
(anhydrous crystalline forms I and II of gatifloxacin)

IT 112811-59-3P, Gatifloxacin

RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
(anhydrous crystalline forms I and II of gatifloxacin)

RN 112811-59-3 HCPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-(3-methyl-1-piperazinyl)-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 12 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN  
AN 2003:5707 HCAPLUS  
DN 138:61343

TI Pediatric formulation of gatifloxacin  
IN Raghavan, Krishnaswamy S.; Ranadive, Sunanda A.; Bembenek, Kenneth S.; Benkerrour, Loutfy; Trognon, Veronique; Corrao, Richard G.; Esposito, Luigi

PA Bristol-Myers Squibb Company, USA  
SO PCT Int. Appl., 16 pp.  
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003000175	A2	20030103	WO 2002-US14596	20020510
	WO 2003000175	A3	20030410		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003028025	A1	20030206	US 2002-143487	20020509
	US 6589955	B2	20030708		
	CA 2450742	AA	20030103	CA 2002-2450742	20020510
	NZ 529611	A	20031219	NZ 2002-529611	20020510
	EP 1406572	A2	20040414	EP 2002-744141	20020510
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	EE 200400018	A	20040415	EE 2004-18	20020510
	BR 2002009692	A	20040420	BR 2002-9692	20020510
	CN 1518449	A	20040804	CN 2002-812409	20020510
	JP 2005500306	T2	20050106	JP 2003-506621	20020510
	TW 224004	B1	20041121	TW 2002-91113074	20020614
	ZA 2003009173	A	20050225	ZA 2003-9173	20031125
	BG 108444	A	20040831	BG 2003-108444	20031212
PRAI	US 2001-299625P	P	20010620		
	WO 2002-US14596	W	20020510		

AB A taste-masked formulation of the quinolone antibacterial gatifloxacin for pediatric uses is described. A crystalline co-precipitate of gatifloxacin and one or both of stearic acid and palmitic acid in a narrow weight ratio has been found to effectively mask the bitter taste of gatifloxacin. The taste of gatifloxacin is effectively masked in the mouth and in aqueous suspension through a full dosage cycle, typically 14 days. Gatifloxacin in the subject crystalline co-ppts. has been found to be readily available for absorption from the stomach.

IC ICM A61K

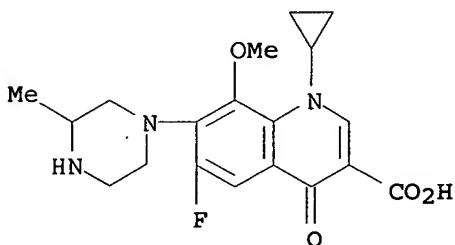
CC 63-6 (Pharmaceuticals)

ST gatifloxacin palmitate stearate ppt taste suspension child

IT Development, mammalian postnatal

(child; gatifloxacin crystalline co-ppts. with stearic

acid and/or palmitic acid for taste-masked pediatric formulations)  
IT Drug delivery systems  
(suspensions, oral; gatifloxacin crystalline co-ppts.  
with stearic acid and/or palmitic acid for taste-masked pediatric  
formulations)  
IT 57-10-3, Palmitic acid, biological studies 57-11-4, Stearic acid,  
biological studies  
RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(gatifloxacin crystalline co-ppts. with stearic acid  
and/or palmitic acid for taste-masked pediatric formulations)  
IT 112811-59-3, Gatifloxacin  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(gatifloxacin crystalline co-ppts. with stearic acid  
and/or palmitic acid for taste-masked pediatric formulations)  
IT 112811-59-3, Gatifloxacin  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(gatifloxacin crystalline co-ppts. with stearic acid  
and/or palmitic acid for taste-masked pediatric formulations)  
RN 112811-59-3 HCAPLUS  
CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-  
(3-methyl-1-piperazinyl)-4-oxo- (9CI) (CA INDEX NAME)



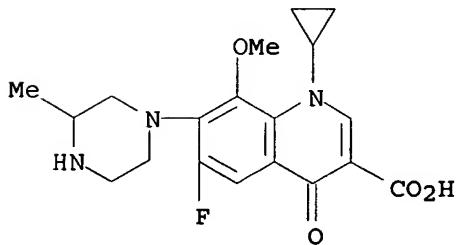
L14 ANSWER 13 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN  
AN 2002:831071 HCAPLUS  
DN 139:78466  
TI Influence of clarithromycin on biofilm of Pseudomonas aeruginosa  
AU Wang, Rui; Pei, Fei; Chai, Dong; Zhu, Man; Fang, Yi; Wang, Zhongxiao; Liu, Guiyang  
CS The General Hospital of PLA, Beijing, 100853, Peop. Rep. China  
SO Zhongguo Kangshengsu Zazhi (2002), 27(5), 293-297  
CODEN: ZKZAEG; ISSN: 1001-8689

PB Zhongguo Kangshengsu Zazhishe  
DT Journal  
LA Chinese

AB The influence of clarithromycin on Pseudomonas aeruginosa biofilm was studied. The adherence of mucoid Pseudomonas aeruginosa was measured by crystal violet staining method, the gatifloxacin penetration concentration was determined by HPLC, and the effect of clarithromycin on Pseudomonas aeruginosa biofilm was determined by carbazole/ethanol method. The stable biofilm could be formed in 7 days. When 8 x MIC gatifloxacin was combined with 1/4 MIC clarithromycin, the adherence of mucoid Pseudomonas aeruginosa was significantly decreased, and the optical d. was decreased from (0.126 ± 0.011) to (0.114 ± 0.010). The penetrated concns. of gatifloxacin through biofilm were increased from (1.210 ± 0.091), (2.911 ± 0.112), and (5.911 ± 0.213) to (1.752 ± 0.122), (3.908

$\pm 0.154$ ), and  $(7.898 \pm 0.321)$   $\mu\text{g mL}^{-1}$  in three dosages of 4, 8, and  $16 \mu\text{g mL}^{-1}$ , resp., and the concentration of alginate in biofilm was decreased from  $(10.07 \pm 0.55)$  to  $(2.34 \pm 0.21)$ ,  $(4.91 \pm 0.16)$ ,  $(7.22 \pm 0.36)$ , and  $(8.82 \pm 0.50)$   $\mu\text{g}$  per 108 CFU in 80, 40, 20, and  $10 \mu\text{g mL}^{-1}$  clarithromycin groups, resp. Clarithromycin could reduce the adherence of *Pseudomonas aeruginosa*, enhance the penetration ability of gatifloxacin, and inhibit the alginate synthesis of *Pseudomonas aeruginosa*.

CC 1-5 (Pharmacology)  
 ST clarithromycin *Pseudomonas* biofilm gatifloxacin alginate  
 IT Adhesion, biological  
     Biofilms (microbial)  
     *Pseudomonas aeruginosa*  
         (influence of clarithromycin on biofilm of *Pseudomonas aeruginosa*)  
 IT 81103-11-9, Clarithromycin  
     RL: BUU (Biological use, unclassified); DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
         (influence of clarithromycin on biofilm of *Pseudomonas aeruginosa*)  
 IT 112811-59-3, Gatifloxacin  
     RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
         (penetration of biofilm; influence of clarithromycin on biofilm of *Pseudomonas aeruginosa*)  
 IT 9005-32-7, Alginic acid  
     RL: BSU (Biological study, unclassified); BIOL (Biological study)  
         (response; influence of clarithromycin on biofilm of *Pseudomonas aeruginosa*)  
 IT 112811-59-3, Gatifloxacin  
     RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
         (penetration of biofilm; influence of clarithromycin on biofilm of *Pseudomonas aeruginosa*)  
 RN 112811-59-3 HCAPLUS  
 CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-(3-methyl-1-piperazinyl)-4-oxo- (9CI) (CA INDEX NAME)



L14 ANSWER 14 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2002:220371 HCAPLUS  
 DN 136:268136  
 TI Preparation of gatifloxacin pentahydrate  
 IN Raghavan, Krishnaswamy S.; Ranadive, Sunanda A.; Gougoutas, Jack Z.; Dimarco, John D.; Parker, William L.; Davidovich, Martha; Neuman, Ann  
 PA Bristol-Myers Squibb Company, USA  
 SO PCT Int. Appl., 20 pp.  
 CODEN: PIXXD2  
 DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002022126	A1	20020321	WO 2001-US26120	20010821
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2002052379	A1	20020502	US 2001-932045	20010817
	US 6413969	B2	20020702		
	CA 2422616	AA	20020321	CA 2001-2422616	20010821
	AU 2001086592	A5	20020326	AU 2001-86592	20010821
	EP 1326612	A1	20030716	EP 2001-966049	20010821
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004508403	T2	20040318	JP 2002-526377	20010821
	BR 2001013866	A	20040706	BR 2001-13866	20010821
	CN 1511035	A	20040707	CN 2001-818780	20010821
PRAI	US 2000-232293P	P	20000913		
	WO 2001-US26120	W	20010821		

AB Crystalline gatifloxacin pentahydrate (I) in a highly homogeneous form with respect to other crystalline forms is disclosed. Thus, I was prepared by suspending gatifloxacin hemihydrate in water, filtered, and the product was dried for 16 h. Thus, a tablet composition contained I 0.428, microcryst. cellulose 0.138, sodium starch glycolate 0.024, and Mg stearate 0.09 g/tablet.

IC ICM A61K031-496

ICS C07D401-00

CC 63-6 (Pharmaceuticals)

ST gatifloxacin pentahydrate pharmaceutical prepn

IT Drug delivery systems

(oral; preparation of gatifloxacin pentahydrate)

IT Drug delivery systems

(parenterals; preparation of gatifloxacin pentahydrate)

IT Crystal morphology

(preparation of gatifloxacin pentahydrate)

IT Drug delivery systems

(solids, oral; preparation of gatifloxacin pentahydrate)

IT Drug delivery systems

(suspensions, oral; preparation of gatifloxacin pentahydrate)

IT Drug delivery systems

(suspensions; preparation of gatifloxacin pentahydrate)

IT Drug delivery systems

(tablets; preparation of gatifloxacin pentahydrate)

IT 404858-35-1P, Gatifloxacin pentahydrate

RL: IMF (Industrial manufacture); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

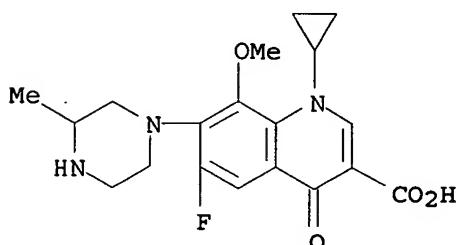
(preparation of gatifloxacin pentahydrate)

IT 112811-59-3, Gatifloxacin 180200-66-2, Gatifloxacin sesquihydrate 404858-36-2, Gatifloxacin hemihydrate

RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)

(preparation of gatifloxacin pentahydrate)

IT 112811-59-3, Gatifloxacin  
 RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)  
 (preparation of gatifloxacin pentahydrate)  
 RN 112811-59-3 HCAPLUS  
 CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-(3-methyl-1-piperazinyl)-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

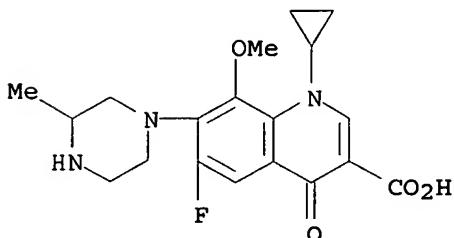
L14 ANSWER 15 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2002:180387 HCAPLUS  
 DN 137:60163  
 TI Influence of anti-alginate serum on adherence and penetration of mucoid *Pseudomonas aeruginosa* biofilm  
 AU Pei, Fei; Wang, Rui; Chai, Dong; Fang, Yi; Liu, Guiyang; Zhu, Man; Li, Cunfu; Di, Min  
 CS Department of Clinical Pharmacology of General Hospital of PLA, Beijing, 100853, Peop. Rep. China  
 SO Zhongguo Linchuang Yaolixue Zazhi (2001), 17(6), 423-426  
 CODEN: ZLYZE9; ISSN: 1001-6821  
 PB Beijing Yike Daxue, Linchuang Yaoli Yanjiuso  
 DT Journal  
 LA Chinese  
 AB The influence of anti-alginate serum on the adherence and the penetration of mucoid *Pseudomonas aeruginosa* biofilm were assessed. The adherence of mucoid *Pseudomonas aeruginosa* was determined by crystal violet stained method; the gatifloxacin penetration concentration was determined by HPLC. When 1:1 dilution anti-alginate serum combined with 8 x MIC of gatifloxacin, the adherence of mucoid *Pseudomonas aeruginosa* decreased significantly, the optical d. of silicon slides at 540 nm decreased from  $0.130 \pm 0.010$ ,  $0.129 \pm 0.015$  and  $0.126 \pm 0.011$  to  $0.120 \pm 0.010$ ,  $0.117 \pm 0.015$  and  $0.1140 \pm 0.010$ . The penetrated concns. of gatifloxacin through biofilm were increased from  $1.210 \pm 0.091$ ,  $2.911 \pm 0.112$  and  $5.911 \pm 0.213$  to  $1.752 \pm 0.122$ ,  $3.908 \pm 0.154$  and  $7.898 \pm 0.321$ . The anti-alginate serum could reduce the adherence of *Pseudomonas aeruginosa* and enhance the penetration ability of gatifloxacin.  
 CC 10-6 (Microbial, Algal, and Fungal Biochemistry)  
 ST anti alginate serum biofilm adherence penetration *Pseudomonas*; mucoid *Pseudomonas aeruginosa*  
 IT Adhesion, biological  
 Antiseraums  
 Biofilms (microbial)  
*Pseudomonas aeruginosa*  
 (influence of anti-alginate serum on adherence and penetration of mucoid *Pseudomonas aeruginosa* biofilm)

IT 9005-32-7, Alginic acid 112811-59-3, Gatifloxacin  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (influence of anti-alginate serum on adherence and penetration of  
 mucoid Pseudomonas aeruginosa biofilm)

IT 112811-59-3, Gatifloxacin  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (influence of anti-alginate serum on adherence and penetration of  
 mucoid Pseudomonas aeruginosa biofilm)

RN 112811-59-3 HCAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-(3-methyl-1-piperazinyl)-4-oxo- (9CI) (CA INDEX NAME)



L14 ANSWER 16 OF 16 HCAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2000:144737 HCAPLUS  
 DN 132:185458  
 TI Aqueous liquid preparations of gatifloxacin  
 IN Yasueda, Shinichi; Inada, Katsuhiro  
 PA Senju Pharmaceutical Co., Ltd., Japan; Kyorin Pharmaceutical Co., Ltd.  
 SO PCT Int. Appl., 17 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000010570	A1	20000302	WO 1999-JP4483	19990820
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2307632	AA	20000302	CA 1999-2307632	19990820
	AU 9953026	A1	20000314	AU 1999-53026	19990820
	AU 761040	B2	20030529		
	EP 1025846	A1	20000809	EP 1999-938550	19990820
	EP 1025846	B1	20060712		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
	BR 9906735	A	20000815	BR 1999-6735	19990820
	NZ 504017	A	20010928	NZ 1999-504017	19990820
	TW 537895	B	20030621	TW 1999-88114247	19990820
	CN 1133432	B	20040107	CN 1999-801408	19990820
	AT 332692	E	20060815	AT 1999-938550	19990820
	US 6333045	B1	20011225	US 2000-529882	20000421

PRAI JP 1998-235432 A 19980821  
 WO 1999-JP4483 W 19990820

AB This invention relates to aqueous preps. containing gatifloxacin [( $\pm$ )-1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-(3-methyl-1-piperazinyl)-4-oxo-quinolinecarboxylic acid] or its salts and sodium edetate. Also disclosed are a method for enhancing the corneal permeability of gatifloxacin, a method for preventing crystallization of gatifloxacin and a method for preventing coloration of gatifloxacin each by blending gatifloxacin or its salt with sodium edetate. An aqueous solution for eye drops, ear drops, and nasal drops, contained gatifloxacin 0.5, Na edetate 0.1, NaCl 0.9, HCl/NaOH q.s. to pH 7, and sterilized water to 100 mL.

IC ICM A61K031-495

ICS A61K009-08; A61K047-18; C07D401-04

CC 63-6 (Pharmaceuticals)

ST gatifloxacin edetate stabilizer aq soln

IT Drug delivery systems  
 (solns., ear; stabilized aqueous preps. containing gatifloxacin and edetate)

IT Drug delivery systems  
 (solns., nasal; stabilized aqueous preps. containing gatifloxacin and edetate)

IT Drug delivery systems  
 (solns., ophthalmic; stabilized aqueous preps. containing gatifloxacin and edetate)

IT Discoloration prevention agents

(stabilized aqueous preps. containing gatifloxacin and edetate)

IT 64-02-8, Sodium edetate 112811-59-3, Gatifloxacin

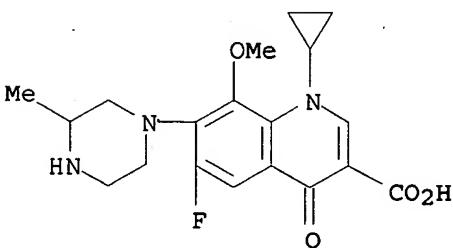
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (stabilized aqueous preps. containing gatifloxacin and edetate)

IT 112811-59-3, Gatifloxacin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (stabilized aqueous preps. containing gatifloxacin and edetate)

RN 112811-59-3 HCPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-(3-methyl-1-piperazinyl)-4-oxo- (9CI) (CA INDEX NAME)



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

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